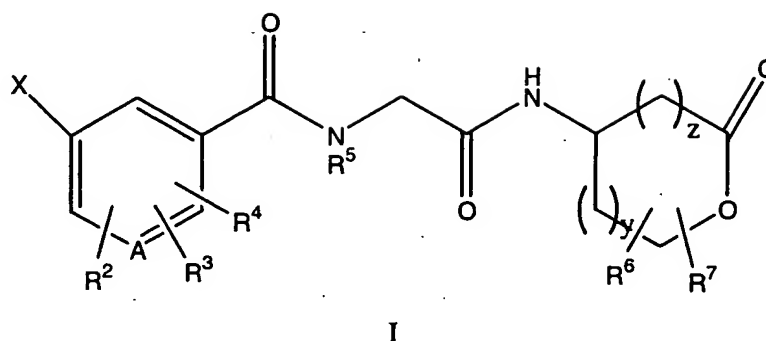


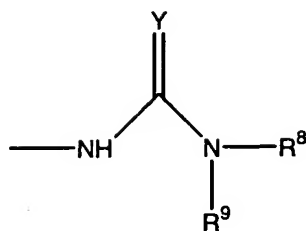
What is claimed is:

1. A compound of Formula I



wherein:

X is



Y is selected from the group consisting of N-R<sup>1</sup>, O, and S;

y and z are independently selected from an integer selected from 0, 1, 2 and 3;

A is N or C;

R<sup>1</sup> is selected from the group consisting of H, alkyl, aryl, hydroxy, alkoxy, cyano, nitro, amino, alkenyl, alkynyl, amido, alkylcarbonyl, arylcarbonyl, alkoxycarbonyl, aryloxy carbonyl, haloalkylcarbonyl, haloalkoxycarbonyl, alkylthiocarbonyl, arylthiocarbonyl, acyloxymethoxycarbonyl, alkyl optionally substituted with one or more substituent selected from lower alkyl, halogen, hydroxyl, haloalkyl, cyano, nitro, carboxyl, amino, alkoxy, aryl or aryl optionally substituted with one or more halogen, haloalkyl, lower alkyl, alkoxy, cyano, alkylsulfonyl, alkylthio, nitro, carboxyl, amino, hydroxyl, sulfonic acid, sulfonamide, aryl, fused aryl, monocyclic heterocycles, or fused monocyclic heterocycles, aryl optionally substituted with one or more substituent selected from halogen, haloalkyl, hydroxy, lower alkyl, alkoxy, methylenedioxy, ethylenedioxy, cyano, nitro, alkylthio, alkylsulfonyl, sulfonic acid, sulfonamide, carboxyl derivatives, amino, aryl, fused aryl, monocyclic heterocycles and fused monocyclic heterocycle, monocyclic heterocycles, and monocyclic heterocycles optionally substituted with one or more substituent selected from halogen, haloalkyl, lower alkyl, alkoxy, amino, nitro, hydroxy, carboxyl derivatives, cyano, alkylthio, alkylsulfonyl, sulfonic acid, sulfonamide, aryl or fused aryl; or

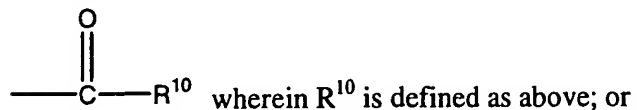
R<sup>1</sup> taken together with R<sup>8</sup> forms a 4-12 membered dinitrogen containing heterocycle optionally substituted with one or more substituent selected from the group consisting of lower alkyl, hydroxy, keto, alkoxy, halo, phenyl, amino, carboxyl or carboxyl ester, and fused phenyl; or

R<sup>1</sup> taken together with R<sup>8</sup> forms a 5 membered heteroaromatic ring optionally substituted with one or more substituent selected from lower alkyl, phenyl and hydroxy; or

R<sup>1</sup> taken together with R<sup>8</sup> forms a 5 membered heteroaromatic ring fused with a phenyl group;

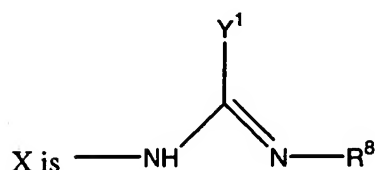
R<sup>8</sup> (when not taken together with R<sup>1</sup>) and R<sup>9</sup> are independently selected from the group consisting of H, alkyl, alkenyl, alkynyl, aralkyl, amino, alkylamino, hydroxy, alkoxy, arylamino, amido, alkylcarbonyl, arylcarbonyl, alkoxycarbonyl,

- aryloxy, aryloxy carbonyl, haloalkyl carbonyl, haloalkoxy carbonyl, alkylthio carbonyl, arylthio carbonyl, acyloxymethoxy carbonyl, cycloalkyl, bicycloalkyl, aryl, acyl, benzoyl, alkyl optionally substituted with one or more substituent selected from lower alkyl, halogen, hydroxy, haloalkyl, cyano, nitro, 5 carboxyl derivatives, amino, alkoxy, thio, alkylthio, sulfonyl, aryl, aralkyl, aryl optionally substituted with one or more substituent selected from halogen, haloalkyl, lower alkyl, alkoxy, methylenedioxy, ethylenedioxy, alkylthio, haloalkylthio, thio, hydroxy, cyano, nitro, carboxyl derivatives, aryloxy, amido, acylamino, amino, alkylamino, dialkylamino, trifluoroalkoxy, trifluoromethyl, 10 sulfonyl, alkylsulfonyl, haloalkylsulfonyl, sulfonic acid, sulfonamide, aryl, fused aryl, monocyclic heterocycles, fused monocyclic heterocycles, aryl optionally substituted with one or more substituent selected from halogen, haloalkyl, lower alkyl, alkoxy, methylenedioxy, ethylenedioxy, alkylthio, haloalkylthio, thio, hydroxy, cyano, nitro, carboxyl derivatives, aryloxy, amido, acylamino, amino, 15 alkylamino, dialkylamino, trifluoroalkoxy, trifluoromethylsulfonyl, alkylsulfonyl, sulfonic acid, sulfonamide, aryl, fused aryl, monocyclic heterocycles, or fused monocyclic heterocycles, monocyclic heterocycles, monocyclic heterocycles optionally substituted with one or more substituent selected from halogen, haloalkyl, lower alkyl, alkoxy, aryloxy, amino, nitro, hydroxy, carboxyl derivatives, 20 cyano, alkylthio, alkylsulfonyl, aryl, fused aryl, monocyclic and bicyclic heterocyclicalkyls,  $-\text{SO}_2\text{R}^{10}$  wherein  $\text{R}^{10}$  is selected from the group consisting of alkyl, aryl and monocyclic heterocycles, all optionally substituted with one or more substituent selected from the group consisting of halogen, haloalkyl, alkyl, alkoxy, cyano, nitro, amino, acylamino, trifluoroalkyl, amido, alkylaminosulfonyl, 25 alkylsulfonyl, alkylsulfonylamino, alkylamino, dialkylamino, trifluoromethylthio, trifluoroalkoxy, trifluoromethylsulfonyl, aryl, aryloxy, thio, alkylthio, and monocyclic heterocycles; and



NR<sup>8</sup> and R<sup>9</sup> taken together form a 4-12 membered mononitrogen containing monocyclic or bicyclic ring optionally substituted with one or more substituent selected from lower alkyl, carboxyl derivatives, aryl or hydroxy and wherein said ring optionally contains a heteroatom selected from the group consisting of O, N and S;

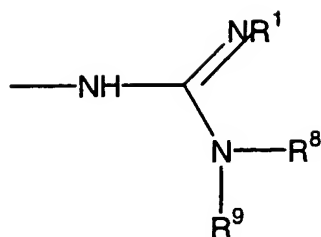
or



wherein Y' is selected from the group consisting of alkyl, cycloalkyl, bicycloalkyl, aryl, monocyclic heterocycles, alkyl optionally substituted with aryl which can also be optionally substituted with one or more substituent selected from halo, haloalkyl, alkyl, nitro, hydroxy, alkoxy, aryloxy, aryl, or fused aryl, aryl optionally substituted with one or more substituent selected from halo, haloalkyl, hydroxy, alkoxy, aryloxy, aryl, fused aryl, nitro, methylenedioxy, ethylenedioxy, or alkyl, alkynyl, alkenyl, -S-R<sup>11</sup> and -OR<sup>11</sup> wherein R<sup>11</sup> is selected from the group consisting of H, alkyl, aralkyl, aryl, alkenyl, and alkynyl, or R<sup>11</sup> taken together with R<sup>8</sup> forms a 4-12 membered mononitrogen and monosulfur or monooxygen containing heterocyclic ring optionally substituted with lower alkyl, hydroxy, keto, phenyl, carboxyl or carboxyl ester, and fused phenyl, or R<sup>11</sup> taken together with R<sup>8</sup> is thiazole, oxazole, benzoxazole, or benzothiazole;

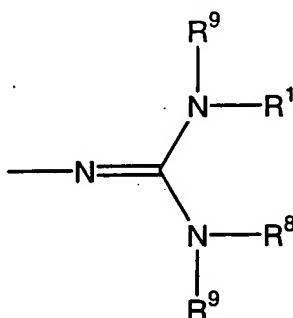
R<sup>8</sup> is defined as above; or

Y<sup>1</sup> (when Y<sup>1</sup> is carbon) taken together with R<sup>8</sup> forms a 4-12 membered mononitrogen or dinitrogen containing ring optionally substituted with alkyl, aryl, keto or hydroxy; or



X is

- 5 wherein R<sup>1</sup> and R<sup>8</sup> taken together form a 5-8 membered dinitrogen containing heterocycle optionally substituted with one or more substituent selected from the group consisting of lower alkyl, hydroxy, keto, phenyl, or carboxyl derivatives; and R<sup>9</sup> is selected from the group consisting of alkylcarbonyl, arylcarbonyl, alkoxy carbonyl, aryloxy carbonyl, haloalkylcarbonyl, haloalkoxy carbonyl, alkylthiocarbonyl, arylthiocarbonyl, or acyloxymethoxycarbonyl; or
- 10



X is

- wherein R<sup>1</sup> and R<sup>8</sup> taken together form a 5-8 membered dinitrogen containing heterocycle optionally substituted with hydroxy, keto, phenyl, or alkyl; and R<sup>9</sup> are both selected from the group consisting of alkylcarbonyl, arylcarbonyl, alkoxy carbonyl, aryloxy carbonyl, haloalkylcarbonyl, haloalkoxy carbonyl, alkylthiocarbonyl, arylthiocarbonyl and acyloxymethoxycarbonyl;
- 15
- 20 R<sup>2</sup>, R<sup>3</sup> and R<sup>4</sup> are independently selected from one or more substituent selected from the group consisting of H, alkyl, hydroxy, alkoxy, aryloxy, halogen, haloalkyl, haloalkoxy, nitro, amino, alkylamino, acylamino, dialkylamino, cyano, alkylthio, alkylsulfonyl, carboxyl derivatives, trihaloacetamide, amide, aryl, fused aryl,

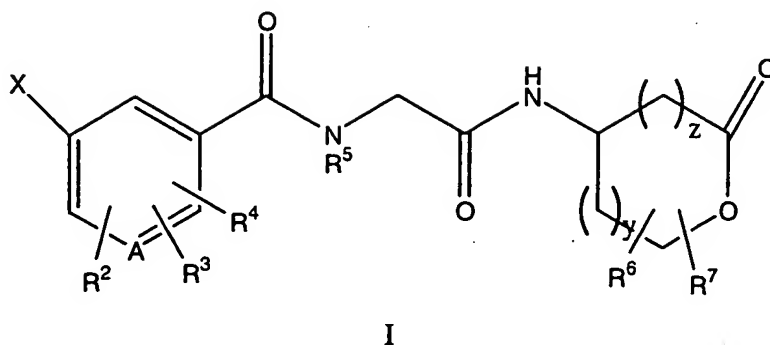
cycloalkyl, thio, monocyclic heterocycles, fused monocyclic heterocycles, and X, wherein X is defined above;

$R^5$ ,  $R^6$  and  $R^7$  are independently selected from the group consisting of

- 5 hydrogen, alkyl, alkenyl, alkynyl, aryl, carboxyl derivatives, haloalkyl, cycloalkyl, monocyclic heterocycles, monocyclic heterocycles optionally substituted with alkyl, halogen, haloalkyl, cyano, hydroxy, aryl, fused aryl, nitro, alkoxy, aryloxy, alkylsulfonyl, arylsulfonyl, sulfonamide, thio, alkylthio, carboxyl derivatives, amino, amido, alkyl optionally substituted with one or more of halo, haloalkyl,
- 10 hydroxy, alkoxy, aryloxy, thio, alkylthio, alkynyl, alkenyl, alkyl, arylthio, alkylsulfoxide, alkylsulfonyl, arylsulfoxide, arylsulfonyl, cyano, nitro, amino, alkylamino, dialkylamino, alkylsulfonamide, arylsulfonamide, acylamide, carboxyl derivatives, sulfonamide, sulfonic acid, phosphonic acid derivatives, phosphinic acid derivatives, aryl, arylthio, arylsulfoxide, or arylsulfone all optionally
- 15 substituted on the aryl ring with halo, alkyl, haloalkyl, cyano, nitro, hydroxy, carboxyl derivatives, alkoxy, aryloxy, amino, alkylamino, dialkylamino, amido, aryl, fused aryl, monocyclic heterocycles, and fused monocyclic heterocycles, monocyclic heterocyclicthio, monocyclic heterocyclicsulfoxide, and monocyclic heterocyclic sulfone, which can be optionally substituted with halo, haloalkyl, nitro,
- 20 hydroxy, alkoxy, fused aryl, or alkyl, alkylcarbonyl, haloalkylcarbonyl, and arylcarbonyl, aryl optionally substituted in one or more positions with halo, haloalkyl, alkyl, alkoxy, aryloxy, methylenedioxy, ethylenedioxy, alkylthio, haloalkylthio, thio, hydroxy, cyano, nitro, acyloxy, carboxyl derivatives, carboxyalkoxy, amido, acylamino, amino, alkylamino, dialkylamino,
- 25 trifluoroalkoxy, trifluoromethylsulfonyl, alkylsulfonyl, sulfonic acid, sulfonamide, aryl, fused aryl, monocyclic heterocycles and fused monocyclic heterocycles;

and all isomers, enantiomers, tautomers, racemates and polymorphs thereof.

- 30 2. A compound according to claim 1



wherein:

5

z is 1;

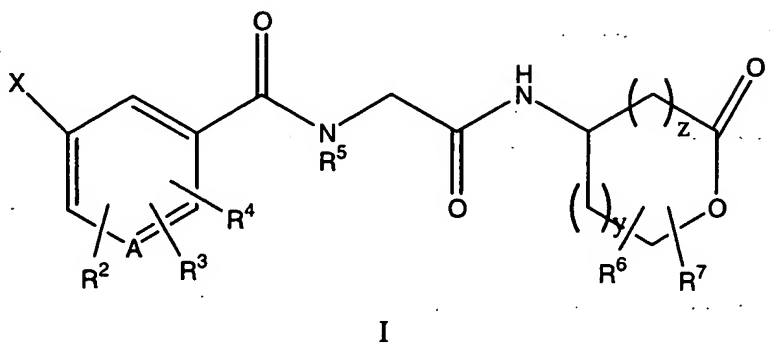
y is 0;

10  $R^5$  and  $R^6$  are H;

$R^7$  = H; alkyl, haloalkyl, carboxyalkyl, alkenyl, alkynyl, and phenyl, optionally substituted with one or more halogen atom.

15

3. A compound according to claim 1

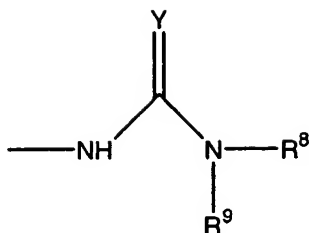


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wherein:

$R^2$ ,  $R^3$ , and  $R^4$  are H, OH, or haloalkyl;

X is



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Y is N-R<sup>1</sup> wherein R<sup>1</sup> is selected from the group consisting of H, alkyl, aryl, hydroxy, alkoxy, cyano, and nitro;

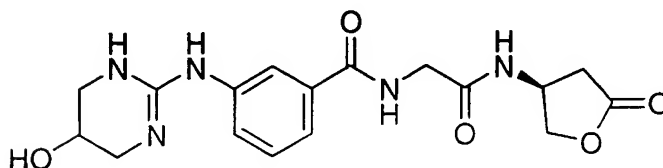
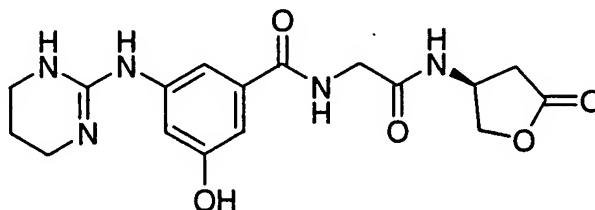
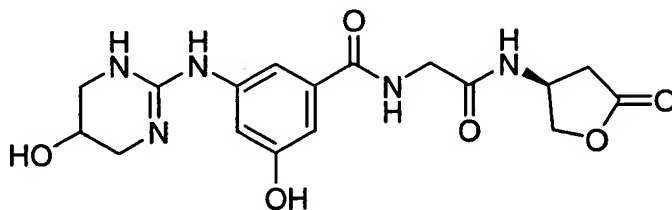
R<sup>8</sup> and R<sup>9</sup> are H; or

10

R<sup>1</sup> taken together with R<sup>8</sup> forms a 4-12 membered dinitrogen containing heterocycle optionally substituted with one or more substituent selected from the group consisting of lower alkyl, hydroxy, keto, alkoxy, halogen, phenyl, amino, carboxyl or carboxyl ester, and fused phenyl.

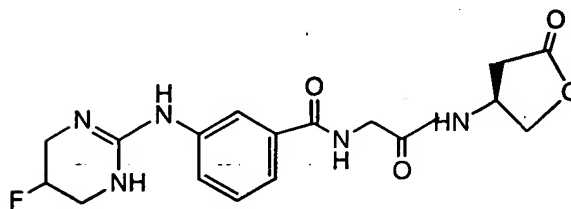
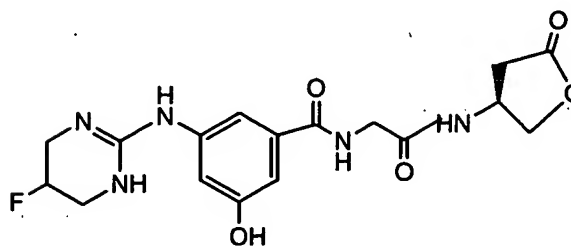
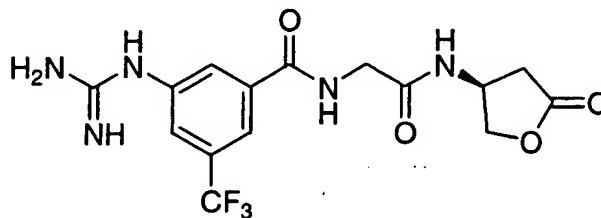
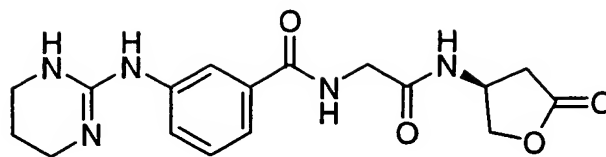
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4. A compound selected from the group consisting of

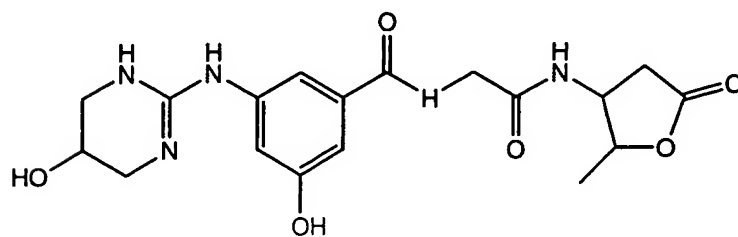
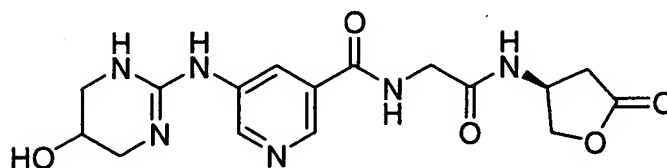
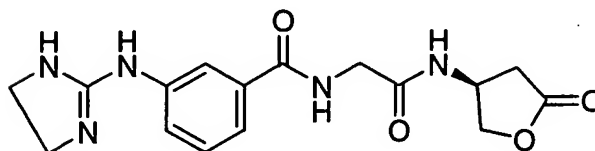


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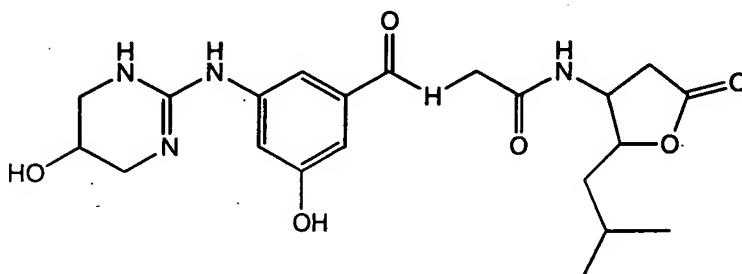
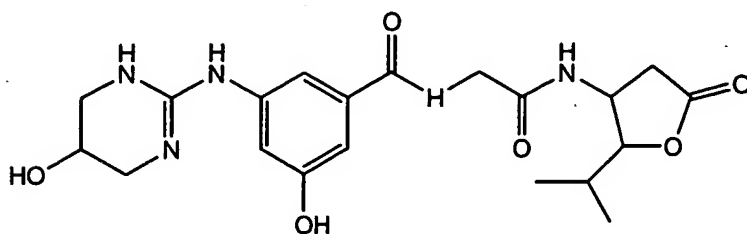
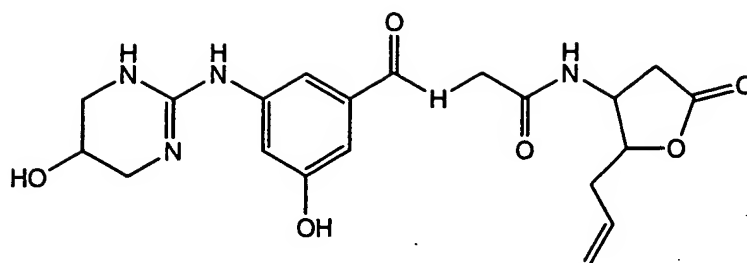




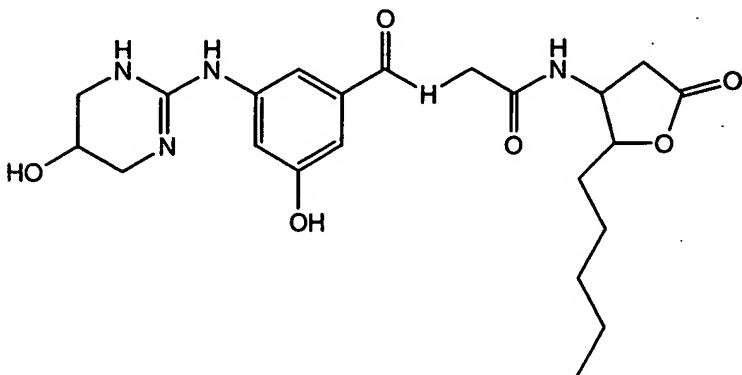
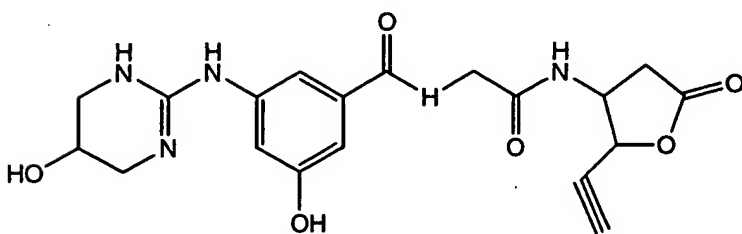
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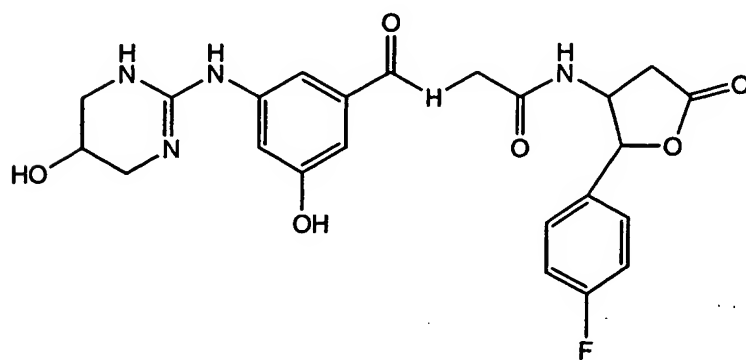
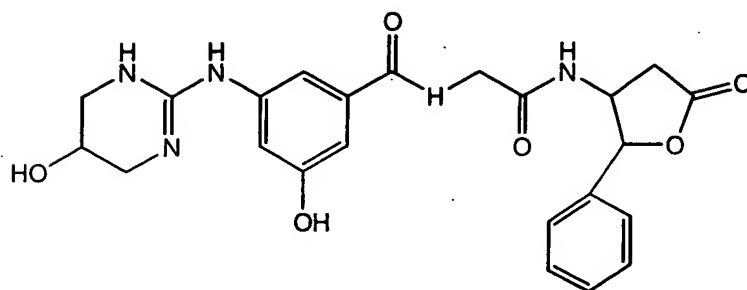
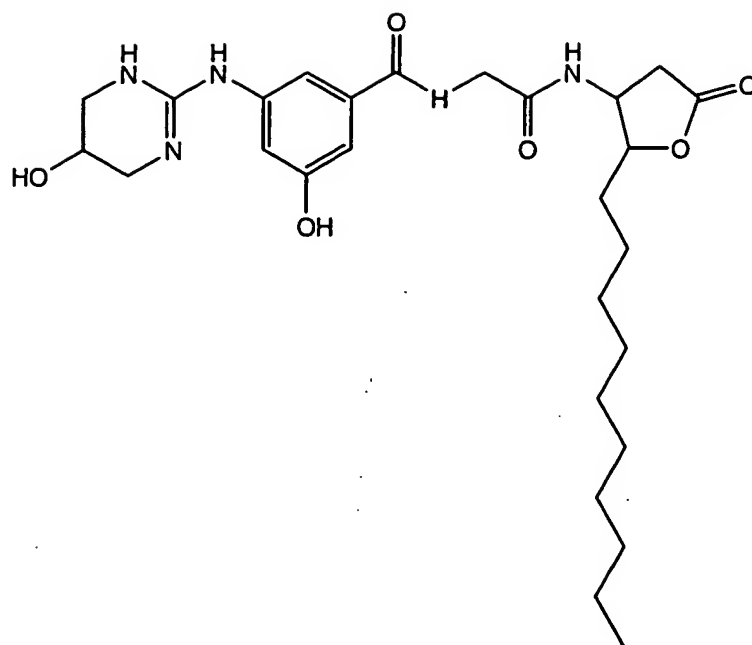


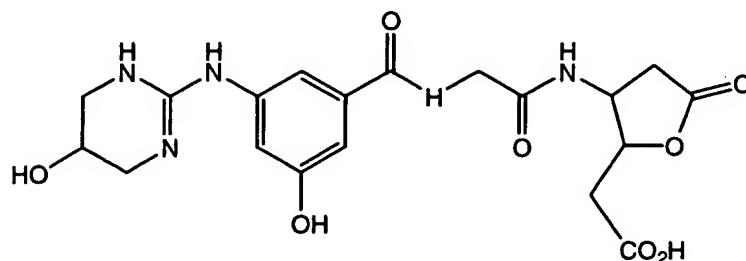
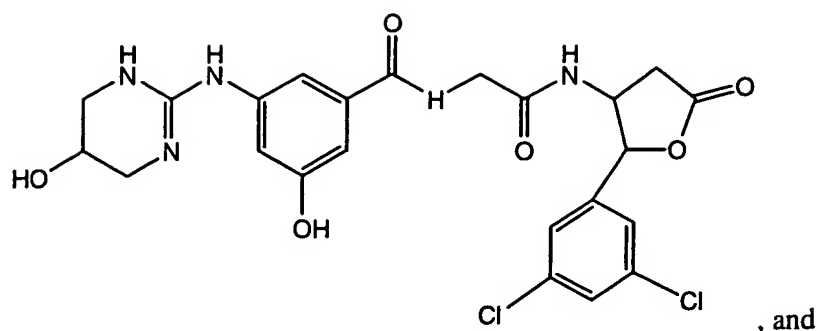
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and all isomers, enantiomers, tautomers, racemates and polymorphs thereof.

- 5 5. A pharmaceutical composition comprising a compound of Claim 1, 2, 3, and 4.
6. A method of inhibiting a condition mediated by the  $\alpha_v\beta_3$  or  $\alpha_v\beta_5$  integrin comprising administering a therapeutically effective amount of a compound of Claim 1, 2, 3, or 4.
- 10 7. The method according to Claim 6 wherein the condition treated is selected from the group consisting of tumor metastasis, solid tumor growth, angiogenesis, osteoporosis, humoral hypercalcemia of malignancy, smooth muscle cell migration, restenosis, atherosclerosis, macular degeneration, retinopathy, and
- 15 arthritis.